

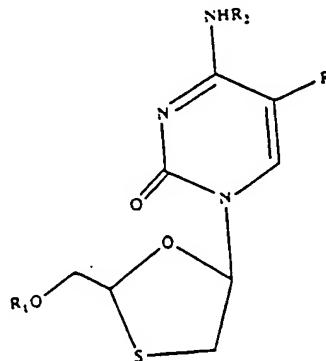
We claim.

1. (\pm)-B-D,L-2-Hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, or its physiologically acceptable derivative, or physiologically acceptable salt.

2. (-)-B-L-2-Hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, or its physiologically acceptable derivative, or physiologically acceptable salt.

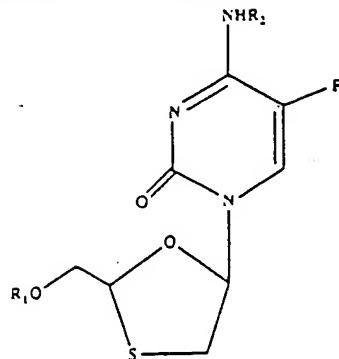
3. (+)-B-D-2-Hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, or its physiologically acceptable derivative, or its physiologically acceptable salt.

4. The compound of claim 1 of the structure:



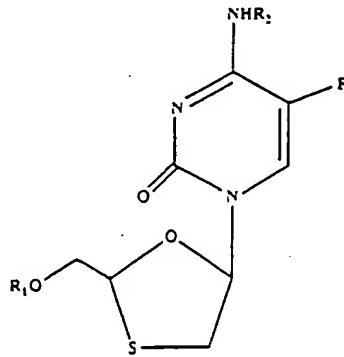
wherein R₁ and R₂ are independently alkyl; a carboxylic acid ester in which the non-carbonyl moiety of the ester group is selected from the group consisting of straight, branched, or cyclic alkyl; alkoxyalkyl; aralkyl; aryloxyalkyl; aryl including phenyl optionally substituted with halogen, C₁ to C₄ alkyl or C₁ to C₄ alkoxy; sulfonate ester; alkyl or aralkyl sulphonyl; the mono, di or triphosphate ester, or an amino acid ester, and one of R₁ or R₂ can be hydrogen.

5. The compound of claim 2 of the structure:



wherein R_1 and R_2 are independently alkyl; a carboxylic acid ester in which the non-carbonyl moiety of the ester group is selected from the group consisting of straight, branched, or cyclic alkyl; alkoxyalkyl; aralkyl; aryloxyalkyl; aryl including phenyl optionally substituted with halogen, C_1 to C_4 alkyl or C_1 to C_4 alkoxy; sulfonate ester; alkyl or aralkyl sulphonyl; the mono, di or triphosphate ester, or an amino acid ester, and one of R_1 or R_2 can be hydrogen.

6. The compound of claim 3 of the structure:



wherein R_1 and R_2 are independently alkyl; a carboxylic acid ester in which the non-carbonyl moiety of the ester group is selected from the group consisting of straight, branched, or cyclic alkyl; alkoxyalkyl; aralkyl; aryloxyalkyl; aryl including phenyl optionally substituted with halogen, C_1 to C_4 alkyl or C_1 to C_4 alkoxy; sulfonate ester; alkyl or aralkyl sulphonyl; the mono, di or triphosphate ester, or an amino acid ester, and one of R_1 or R_2 can be hydrogen.

7. The compound of claim 4, wherein R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, t-pentyl, 3-methylbutyryl, hydrogen succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, propionyl, butyryl, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaoyl, lysinyl, arginyl, and histidinyl, and one of R₁ and R₂ can be hydrogen.

8. The compound of claim 5, wherein R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, t-pentyl, 3-methylbutyryl, hydrogen succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, propionyl, butyryl, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaoyl, lysinyl, arginyl, and histidinyl, and one of R₁ and R₂ can be hydrogen.

9. The compound of claim 6, wherein R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, t-pentyl, 3-methylbutyryl, hydrogen succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, propionyl, butyryl, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaoyl, lysinyl, arginyl, and histidinyl, and one of R₁ and R₂ can be hydrogen.

10. The compound of claim 7, wherein R₁ is n-butyl and R₂ is hydrogen.

11. The compound of claim 8, wherein R₁ is n-butyl and R₂ is hydrogen.

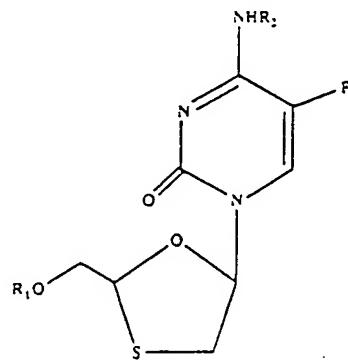
12. The compound of claim 9, wherein R₁ is n-butyl and R₂ is hydrogen.

13. A pharmaceutical composition comprising an effective amount to treat HIV or HBV infection in humans of 2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, in the racemic form, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

14. A pharmaceutical composition comprising an effective amount to treat HIV or HBV infection in humans of (-)- β -L-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

15. A pharmaceutical composition comprising an effective amount to treat HIV or HBV infection in humans of (+)- β -D-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

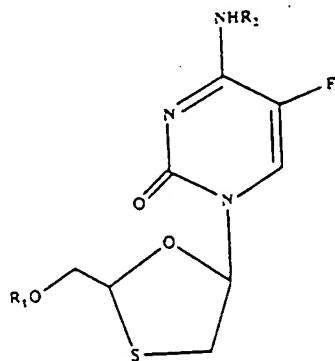
16. The pharmaceutical composition of claim 13, wherein the physiologically acceptable derivative is of the formula:



wherein R₁ and R₂ are independently alkyl; a carboxylic acid ester in which the non-carbonyl moiety of the ester group is selected from the group consisting of straight, branched, or cyclic alkyl;

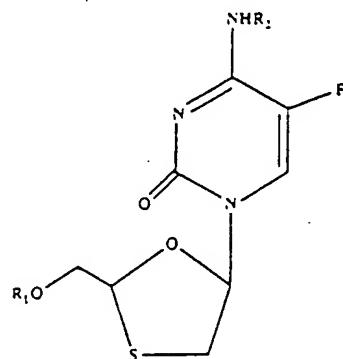
alkoxyalkyl; aralkyl; aryloxyalkyl; aryl including phenyl optionally substituted with halogen, C₁ to C₄ alkyl or C₁ to C₄ alkoxy; sulfonate ester; alkyl or aralkyl sulphonyl; the mono, di or triphosphate ester, or an amino acid ester, and one of R₁ or R₂ can be hydrogen.

17. The pharmaceutical composition of claim 14, wherein the physiologically acceptable derivative is of the formula:



wherein R₁ and R₂ are independently alkyl; a carboxylic acid ester in which the non-carbonyl moiety of the ester group is selected from the group consisting of straight, branched, or cyclic alkyl; alkoxyalkyl; aralkyl; aryloxyalkyl; aryl including phenyl optionally substituted with halogen, C₁ to C₄ alkyl or C₁ to C₄ alkoxy; sulfonate ester; alkyl or aralkyl sulphonyl; the mono, di or triphosphate ester, or an amino acid ester, and one of R₁ or R₂ can be hydrogen.

18. The pharmaceutical composition of claim 15, wherein the physiologically acceptable derivative is of the formula:



wherein R₁ and R₂ are independently alkyl; a carboxylic acid ester in which the non-carbonyl moiety of the ester group is selected from the group consisting of straight, branched, or cyclic alkyl; alkoxyalkyl; aralkyl; aryloxyalkyl; aryl including phenyl optionally substituted with halogen, C₁ to C₄ alkyl or C₁ to C₄ alkoxy; sulfonate ester; alkyl or aralkyl sulphonyl; the mono, di or triphosphate ester, or an amino acid ester, and one of R₁ or R₂ can be hydrogen.

19. The pharmaceutical composition of claim 13, wherein R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, t-pentyl, 3-methylbutyryl, hydrogen succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, propionyl, butyryl, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycinyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaoyl, lysinyl, argininy, and histidinyl, and one of R₁ and R₂ can be hydrogen.

20. The pharmaceutical composition of claim 14, wherein R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, t-pentyl, 3-methylbutyryl, hydrogen succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, propionyl, butyryl, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycinyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutamyl, aspartoyl, glutaoyl, lysinyl, arginyl, and histidinyl, and one of R₁ and R₂ can be hydrogen.

21. The pharmaceutical composition of claim 15, wherein R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, t-pentyl, 3-methylbutyryl, hydrogen succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, propionyl, butyryl, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycinyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutamyl, aspartoyl, glutaoyl, lysinyl, arginyl, and histidinyl, and one of R₁ and R₂ can be hydrogen.

22. The pharmaceutical composition of claim 13, wherein R₁ is n-butyl and R₂ is hydrogen.

23. The pharmaceutical composition compound of claim 14, wherein R₁ is n-butyl and R₂ is hydrogen.

24. The pharmaceutical composition of claim 15, wherein R₁ is n-butyl and R₂ is hydrogen.

25. A method for treating HIV infection in humans comprising administering an effective amount of (\pm)-D,L-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

26. A method for treating HIV infection in humans comprising administering an effective amount of $(-)\text{-}\beta\text{-L-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane}$, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

27. A method for treating HIV infection in humans comprising administering an effective amount of $(+)\text{-}\beta\text{-D-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane}$, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

28. A method for treating HBV infection in a human or other host animal comprising administering an effective amount of $(\pm)\text{-}\beta\text{-D,L-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane}$, or its physiologically acceptable derivative or physiologically acceptable salt, in a pharmaceutically acceptable carrier.

29. A method for treating HBV infection in humans or other host animals comprising administering an effective amount of $(-)\text{-}\beta\text{-L-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane}$, or its physiologically acceptable derivative or physiologically acceptable salt, in a physiologically acceptable carrier.

30. A method for treating HBV infection in humans or other host animals comprising administering an effective amount of $(+)\text{-}\beta\text{-D-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane}$, or its physiologically acceptable derivative or physiologically acceptable salt, in a physiologically acceptable carrier.

31. The method of claims 25, 26, 27, 28, 29, or 30, wherein the carrier is suitable for oral delivery.

32. The method of claims 25, 26, 27, 28, 29, or 30, wherein the carrier comprises a capsule.

33. The method of claims 25, 26, 27, 28, 29, or 30, wherein the carrier is in the form of a tablet.

34. The method of claims 25, 26, 27, 28, 29 or 30, wherein the administration is parenteral.